

HELLER EHRMAN WHITE & McAULIFFE LLP
(Sheet 1 of 11)
Title: **EROCYCLIC MODULATORS OF
NUCLEAR RECEPTORS**
Applicants: Martin *et al.*
Filed: November 18, 2003
Atty Docket No.: 38205-3001B

EXAMPLE	EC50_AVG	EFF_AVG	IC50_AVG	INHIB_AVG
1	D	C		
2	D	C		
3	D	C		
4	D	B		
5	C	B		
6	D	C		
7	D	D		
8	C	B		
9	C	B		
10	C	C		
11	B	B		
12	C	C		
13	C	B		
14	D	C		
15	C	C		
16	C	A		
17	C	C		
18	C	C		
19	C	D		
20	D	C		
21	C	B		
22	B	B		
23	C	C		
24	D	C		
25	D	C		
26	C	B		
27	C	B		

FIG. 1A

28	D	C		
29	C	B		
30	C	A		
31	B	B		
32	B	B		NEG
32	B	B		
32	A	B		
33	B	B		
34	C	C		
35	C	B		
36	B	A		
37	D	B		
38	A	A		
39	NC	NC		
40	NC	NC		
41	NC	NC		
42	NC	NC		
43	D	D		
44	D	D		
45	D	D		
46	NC	NC		
47	C	C	C	F
48	C	C	B	F
49	C	C	C	F
50	D	C		G
51	NC	D		
52	B	D	B	F
55	A	A		

FIG. 1B

SELLER EHRLICH WHITE & McAULIFFE LLP
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56	A	A		
57	B	B		
58	B	D	A	F
59	B	C		
60	NC	NC	B	E
61	D	C		NEG
62	C	D		
63	C	C		
64	C	B		
65	C	C		
66	B	C		
67	C	A		
68	D	C		
69	C	C		
70	NC	NC		
71	C	B		
72	C	C		
73	C	B		
74	C	C		
75	D	C		
76	NC	NC		
77	NC	NC		
78	C	A		
79	C	B		
80	C	B		
81	B	B		
82	B	B		

FIG. 1C

83	B	A	
84	B	B	
85	B	B	
86	C	B	
87	A	A	
88	NC	NC	
89	D	D	
90	B	B	
91	B	B	NEG
91	B	B	NEG
92	B	B	H
93	C	B	NEG
94	B	C	NEG
95	D	B	NEG
96	B	C	C
97	B	B	NEG
98	C	B	H
99	B	B	NEG
100	B	C	H
101	B	B	NEG
102	C	B	H
103	B	B	D
104	NC	NC	
105	C	C	
106	C	D	
107	NC	NC	
108	B	C	
109	C	C	

FIG. 1D

110	C	C	
112	NC	NC	
113	C	C	
114	C	B	
115	C	C	
116	D	B	
117	C	C	H
118	C	B	NEG
119	C	C	H
120	C	C	H
121	C	B	NEG
122	C	C	H
123	C	C	H
124	C	D	H
125	C	D	G
126	C	C	NEG
127	NC	NC	H
128	C	B	NEG
129	B	B	NEG
130	B	B	NEG
131	B	C	NEG
132	C	C	NEG
133	D	D	NEG
134	B	B	NEG
135	A	C	H
136	B	B	NEG
137	B	B	NEG
138	B	B	NEG

FIG. 1E

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TETROCYCLIC MODULATORS OF
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139	B	B		NEG
140	C	B		H
141	C	B		NEG
142	B	A		NEG
143	B	C		G
144	C	C	D	E
145	A	C	D	F
146	B	D	B	E
147	A	A		H
148	NC	D		G
149	B	D	C	E
150	A	B		
151	B	B		
152	A	B		G
153	B	B		
154	NC	NC	C	F
155	NC	NC		
156	NC	D		
157	B	B		
158	B	C		
159	B	D	A	F
160	C	D	B	F
161	B	D	B	F
162	B	C	B	F
163	B	D	A	F
164	B	D	B	F
165	C	D		G
166	NC	D		

FIG. 1F

167	C	C		
168	B	B		
169	C	B		
170	C	B		
171	C	A		
172	C	A		
173	C	A		
174	C	C		
175	C	B		
176	B	B		
177	NC	NC	B	E
178	D	C	C	G
179	B	A		
180	C	D		
181	D	C		
182	C	B		
183	C	D	D	G
184	C	B		NEG
185	C	C		H
186	B	B		NEG
187	NC	D		H
188	D	D	A	G
189	C	D	C	F
190	C	B		NEG
191	C	B		H
192	C	D	C	E
193	C	C	D	G
194	C	C		H

FIG. 1G

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195	C	C		H
196	D	D	C	G
197	B	D	B	F
198	C	D	C	E
199	C	D	B	F
200	C	D	B	F
201	C	C		H
202	D	C		H
203	C	C		H
204	C	D	B	F
205	NC	D		NEG
206	B	A		NEG
207	C	C		NEG
208	C	B		NEG
209	C	B		NEG
210	C	B		NEG
211	B	B		NEG
212	C	C		H
213	D	D		H
214	C	D	C	G
215	C	D	C	F
216	C	D	B	E
217	B	D	B	F
218	B	D	B	E
219	B	C	B	G
220	C	D	B	E
221	B	D	B	F
222	D	D	D	E

FIG. 1H

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223	B	D	B	G
224	C	D	C	F
225	C	C		G
227	B	C		
228	C	B		
229	B	C	B	G
230	D	B		
231	NC	NC	C	E
232	B	C		G
233	C	D		G
234	B	D	B	F
235	NC	NC		
236	D	C		
237	D	D		
238	D	D		
239	NC	NC		
240	NC	NC		
241	NC	D		
241	NC	NC		
242	C	D		
242	NC	NC		
243	B	B		
244	C	A		
244	A	A		
245	B	C		
246	NC	D		
247	C	C		
248	B	D	C	E

FIG. 11

248	B	D	C	E
249	C	C	A	G
250	B	C		
251	C	C		
252	NC	D		
253	D	D		
254	C	D		
255	B	D	A	E
256	D	D		
257	NC	NC	C	F
258	C	D	C	F
259	NC	NC	C	F
259	NC	NC	C	F
259	C	D	B	E
260	NC	NC	B	G
261	B	D	B	G
262	B	C	A	H
263	C	D	B	F
264	B	D	A	F
265	B	B		
266	C	B		
267	B	B		
268	B	D	A	G
269	B	C		
270	B	B		H
271	B	D	B	F
272	B	B		NEG
273	D	C		H

FIG. 1J

274	B	D	B	E
275	A	D		NEG
276	B	C		NEG
277	C	D		G
278	NC	NC		G
279	C	D	C	F
280	C	D	C	G
281	C	D		NEG
282	C	D	C	E
283	NC	NC	C	E
284	NC	NC		H
285	NC	C	A	G
286	B	C	A	G
287	C	D	B	G
288	C	D	C	G
289	C	D		H
290	B	C		NEG

FIG. 1K